

# INTERNATIONAL SEARCH REPORT

International application No.

PCT/US04/25856

## A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : A61K 31/4355, 4365, 5383, 542, 553, 554; C07D 498/04, 515/04; A61P 35/00

US CL : 514/222.8, 230.5, 211.06, 211.15, 301, 302; 546/114, 115, 116, 183; 544/2, 105; 540/490, 491, 552

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

U.S. : 514/222.8, 230.5, 211.06, 211.15, 301, 302; 546/114, 115, 116, 183; 544/2, 105; 540/490, 491, 552

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)  
CAS ONLINE

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 3,271,404 A (R.G. GRIOT) 06 September 1966 (06.09.1966), column 2, Examples 1, 2.	1-5, 7-33
A	BEAK et al. alpha-Lithioamine syntheic equivalents: Syntheses of diastereoisomers from the boc piperidines. J. Org. Chem. 1990, Vol. 55, pages 2578-2580, especially page 2579, Table I, compound 21.	1-5, 7-33.
A	KANO et al. Diastereoselective synthesis of hexahydro-3H-oxazolo[3,4-a]pyridin-3-one derivatives by cyclization of alpha-acylaminoradical-olefin system. Heterocycles. 1988, Vol. 27, No. 6, pages 1437-1443, especially page 1438, compound 11.	1-5, 7-33

☐ Further documents are listed in the continuation of Box C.

☐ See patent family annex.

\* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T"

later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X"

document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y"

document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&"

document member of the same patent family

Date of the actual completion of the international search

29 October 2004 (29.10.2004)

Date of mailing of the international search report

01 DEC 2004

Name and mailing address of the ISA/US

Mail Stop PCT, Attn: ISA/US  
Commissioner for Patents  
P.O. Box 1450  
Alexandria, Virginia 22313-1450

Facsimile No. (703) 305-3230

Authorized officer

Evelyn Huang

Telephone No. 703-308-1235

*J. Whitefield*  
For

# INTERNATIONAL SEARCH REPORT

International application No.

PCT/US04/25856

## Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claims Nos.:  
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:  
Please See Continuation Sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.: 1-5, 7-33 in part

Remark on Protest

☐  
☐

The additional search fees were accompanied by the applicant's protest.

No protest accompanied the payment of additional search fees.

# INTERNATIONAL SEARCH REPORT

International application No.  
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## BOX III. OBSERVATIONS WHERE UNITY OF INVENTION IS LACKING

This application contains the following inventions or groups of inventions which are not so linked as to form a single general inventive concept under PCT Rule 13.1. In order for all inventions to be examined, the appropriate additional examination fees must be paid.

Group I, claim(s) 1-5, 7-33 in part, drawn to a compound wherein X is  $-(CR^8R^8)v-$  or  $C(=O)$  and Y is O, its composition and method of use.

Group II, claim(s) 1-5, 7-33 in part, drawn to a compound wherein X is  $-(CR^8R^8)v-$  or  $C(=O)$  and Y is  $-N(R^c)-$ , or Y and Z together is  $-N=CR^8-$ , its composition and method of use.

Group III, claim(s) 1-5, 7-33, drawn to a compound wherein X is  $-(CR^8R^8)v-$  or  $C(=O)$  and Y is S, its composition and method of use.

Group IV, claim(s) 6 and claims 1-5, 7-33 in part, drawn to a compound wherein X is  $-(CR^8R^8)v-$  or  $C(=O)$  and Y is  $-C(=O)-$  or  $-CR^8R^8-$ , or X and Y together is  $-C=C-$ , its composition and method of use.

Group V, claim(s) 1-5, 7-33 in part, drawn to a compound wherein X is  $-(CR^8R^8)v-$  or  $C(=O)$  and Y is  $-N(R^c)C(=O)-$  or  $-N(R^c)CR^8R^8-$ , its composition and method of use.

Group VI, claim(s) 1-5, 7-33 in part, drawn to a compound wherein X is  $-SO_2-$  or  $-SO-$  and Y is O, its composition and method of use.

Group VII, claim(s) 1-5, 7-33 in part, drawn to a compound wherein X is  $-SO_2-$  or  $-SO-$  and Y is  $-N(R^c)-$ , or Y and Z together is  $-N=CR^8-$ , its composition and method of use.

Group VIII, claim(s) 1-5, 7-33, drawn to a compound wherein X is  $-SO_2-$  or  $-SO-$  and Y is S, its composition and method of use.

Group IX, claim(s) 1-5, 7-33 in part, drawn to a compound wherein X is  $-SO_2-$  or  $-SO-$  and Y is  $-C(=O)-$  or  $-CR^8R^8-$ , its composition and method of use.

Group X, claim(s) 1-5, 7-33 in part, drawn to a compound wherein X is  $-SO_2-$  or  $-SO-$  and Y is  $-N(R^c)C(=O)-$  or  $-N(R^c)CR^8R^8-$ , its composition and method of use.

The inventions listed as Groups I-X do not relate to a single general inventive concept under PCT Rule 13.1 because, under PCT Rule 13.2, they lack the same or corresponding special technical features for the following reasons: the piperidine is fused to a different hetero ring as described in Groups I to X; these different groups of compounds would not have been of sufficient similarity to allow Markush grouping exhibiting unity, absent some teaching of equivalence in the prior art.